(19) Europäisches Patentamt
European Patent Office
Office européen des brevets

(11) Publication number : **0 599 767 A1**

(12) **EUROPEAN PATENT APPLICATION**

(21) Application number : **93500129.7**

(51) Int. Cl.[5] : **A61K 9/20,** A61K 31/195

(22) Date of filing : **10.09.93**

(30) Priority : **06.10.92 ES 9201978**

(43) Date of publication of application :
01.06.94 Bulletin 94/22

(84) Designated Contracting States :
DE FR GB IT PT

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(54) **Process to prepare water-dispersable tablets containing diclofenac.**

(57) A new procedure to prepare a new formulation of dispersible diclofenac tablets is described. It is characterized by the compression of a mixture consisting of granules containing a hydrophilic lubricant and a disintegrant, in addition to micronized diclofenac as the active principle and other excipients, and a powder that contains, also in addition to other excipients, a hydrophilic lubricant and a disintegrant. By weight, the total amount of hydrophilic lubricants is from 2.5 to 5% and the total amount of disintegrants is from 13 to 17%.

EP 0 599 767 A1

From a biopharmaceutical point of view, solid pharmaceutical dosage forms, such as tablets and capsules, which are orally administered by swallowing, provide an accurate dosage of the active principle; but, since they have to disintegrate in the gastrointestinal tract prior to their dissolution, the absorption tends to be slower than if the drug is administered in a dispersed form, such as suspensions and powders or granules dispersed in water. In addition, some people are unable or unwilling to swallow tablets and capsules, and thus dispersible tablets are advantageous because are well-accepted specially by children, patients who find the medicine difficult to swallow, the elderly, and patients with mental illness.

The active principle of the dispersible tablets to which this invention refers is diclofenac, a non-steroidal anti-inflammatory compound that also has analgesic properties, in therapeutic doses, usually between 23 and 93 mg per tablet. Its use is indicated in a variety of processes that cause pain and inflammation. In many pharmaceutical specialties, already on the market in numerous countries, it is included as a salt, for example sodium diclofenac or diethylamonium diclofenac. Its usefulness has been proved in long-term therapy of several illnesses with inflammatory component, and it is recommended in the treatment of the rheumatic processes.

The formulation of diclofenac in the form of dispersible tablets is of great interest since, as indicated, diclofenac is useful to treat processes that old people, in particular, suffer from. On the other hand, diclofenac in this galenic form is more rapidly absorbed, and threfore, its therapeutic effect is more quickly perceived. This is very important when dealing with pain.

The European patent number 0 365 480 A1 of Ciba-Geigy AG describes a dispersible formulation of the active principle diclofenac, as well as a procedure for preparing it.

The present invention refers to a new formulation of dispersible diclofenac tablets, that disintegrate in less than 3 minutes after dropped into water at room temperature, and its preparation process. This dispersible tablet in water results in a fine dispersion, which facilitates oral administration of the drug and achieves a good dissolution rate and bioavailability of the active principle.

These dispersible tablets can also be used as traditional tablets; in this case, their dispersion in the gastrointestinal tract is also faster than for traditional tablets. Micronized diclofenac, with a particle size of less than 10 µm, is used, thus improving dissolution and bioavailability as compared to tablets in which the active principle is not micronized.

In order to obtain the dispersible tablets referred to in this invention, a compression process is carried out in 3 phases: a) Wet granulation of the active principle and part of the excipients, representing ca. 85% of the total weight of the product to be compressed; b) Incorporation of the rest of the excipients as a pulverulent solid to the dry granules obtained in the first phase; c) compression of the mixture. Excipients have been carefully selected to get a mixture to be compressed with suitable compressibility characteristics, and also so that the tablets obtained be immediately dispersible in water with a satisfactory particle size. These dispersible tablets disintegrate in less than three minmutes when they are subjected to the disintegration test for tablets and capsules as per Appendix XIIA of the 1988 British Pharmacopoeia, and comply with the test for uniformity of dispersion and uniformity of weight.

Common excipients for solid formulations, such as microcrystalline cellulose, corn starch and lactose, are used as diluents to increase the volume of the mass to be compressed and facilitate the compression process.

In order to fulfil the requirements for dispersibility of the tablet when dropped in water, polyvinylpolypyrrolidone and carboxymethylstarch are used in combination as disintegrants; the total amount of disintegrants represents 13 to 17% of the final weight of the tablet.

The disintegrants are incorporated into the mass to be compressed as follows: The polyvinylpolypyrrolidone and half of the carboxymethylstarch of the formulation are added before the wet granulation process, in order to promote disintegration directly in the primary particles that make up the granules. The rest of the carboxymethylstarch is added after the granulation process, blended with the remaining ingredients and then compressed.

In the process to prepare these dispersible tablets conventional hydrophobic lubricants are not used; instead, two hydrophilic products have been selected: Polyethylenglycol 6000, which is added dissolved in the granulation liquid, and sodium stearylfumarate, which is added in a fine powder form before proceeding to compression. Sodium stearylfumarate is preferred over more hydrophobic lubricants because suitable hard tablets of uniform contents, disintegration and dissolution rate are achieved. Sodium stearylfumarate contributes in an important manner to the characteristics of the tablets. The polyethylenglycol 6000 dissolved in the granulation liquid helps to obtain a good quality unabrasive granulated mass, thus causing less deterioration in the machine used and easier to handle. An important aspect of this invention is the use of these lubricants as indicated.

A glidant can also be added included in the formulation, preferably colloidal silicon dioxide, which provides suitable flow properties to the mixture to be compressed. The glidant is added in the proportion of 0.5% of the final tablets weight.

A flavour and a sweetener are also added in order to provide adequate organoleptic characteristics.

To illustrate the scope of the invention, but without limiting it as there are several possible variations thereof, the following examples are offered.

Example 1

Composition per dispersible tablet:	
Micronized diclofenac	46.5 mg
Microcrystalline cellulose	156.5 mg
Corn starch	30 mg
Plyethylenglycol 6000	8 mg
Polyvinylpolypyrrolidone	15 mg
Carboxymethylstarch	30 mg
Sodium saccharine	4.5 mg
Orange flavour	10 mg
Sodium stearylfumarate	6 mg
Colloidal silicon dioxide	1.5 mg

Manufacturing process:

A granulation solution, consisting of a 5% hydroalcoholic polyethylenglycol 6000 solution, is prepared.

The micronized diclofenac, the microcrystalline cellulose, the corn starch, the polyvinylpyrrolidone, and half of the total amount of carboxymethylstarch are mixed, after passed through a 0.6 mm mesh sieve. Once a homogeneous mixture is obtained, it is moistened with the 5% hydroalcoholic polyethylenglycol 6000 solution. The moistened mass is passed through a 1 mm mesh sieve, and the obtained granules are dried and passed through a 0.6 mm mesh sieve. The dry, sieved granules are placed in a suitable mixer, and the flavour, the sweetener and half of the carboxymethylstarch of the formulation, previously passed through a 0.6 mm mesh sieve, are added. Colloidal silicon dioxide and sodium stearylfumarate, previously sieved through 0.6 mm, are added. It is all mixed until a homogeneous mixture is obtained, which is then compressed.

Example 2

Composition per dispersible tablet:	
Micronized diclofenac	46.5 mg
Microcrystalline cellulose	178 mg
Polyethylenglycol 6000	8 mg
Polyvinylpolypyrrolidone	15 mg
Carboxymethylstarch	30 mg
Sodium saccharin	3 mg
Orange flavour	20 mg
Sodium stearylfumarate	6 mg
Colloidal silicon dioxide	1.5 mg

Manufacturing process:

The micronized diclofenac, the microcrystalline cellulose, the polyvinylpolypyrrolidone and half of the carboxymethylstarch are mixed. Once a homogeneous mixture is obtainined, it is moistened with the granulation solution, consisting of a 5% hydroalcoholic solution of polyethylenglycol 6000. This is granulated, and the dry granulation is mixed with the sodium saccharin, the orange flavour, the rest of the carboxymethylstarch, the colloidal silicon dioxide, and the sodium stearylfumarate. The mixture thus obtained is compressed.

Example 3

Composition per dispersible tablet:	
Micronized diclofenac	46.5 mg
Microcrystalline cellulose	143 mg
Lactose	38.5 mg
Polyethylenglycol 6000	8 mg
Polyvinylpolypyrrolidone	15 mg
Carboxymethylstarch	35 mg
Sodium saccharin	2 mg
Flavour	17 mg
Sodium stearylfumarate	3 mg

Manufacturing process:

The micronized diclofenac, the microcrystalline cellulose, the lactose, the polyvinylpolypyrrolidone, and half of the carboxymethylstarch are mixed. This mixture is moistened with the 5% hydroalcoholic polyethylenglycol 6000 solution. The granulation obtained is dried and then the rest of the carboxymethylstarch, the sodium saccharin, the flavour and lastly the sodium stearylfumarate, are added to it. Once a homogeneous mixture is obtained, it is compressed.

Described the nature of the invention and the way to put it into practice, it should be noted that the fore-

going is subject to detail modifications, provided they do not alter its fundamental principle which is characterized by the following:

Claims

1. A process to prepare a new formulation of dispersible diclofenac tablets, which disintegrate in less than three minutes when dropped into water, which comprises the compression of a mixture of granules containing the active principle, obtained by wet granulation, and a powder.

2. A process as claimed in claim 1, in which process the granules contain, in addition to the active principle and other excipients, a hydrophilic lubricant, preferably polyethylenglycol 6000, and a disintegrant, preferably a mixture of polyvinylpolypyrrolidone and carboxymethylstarch.

3. A process as claimed in any preceding claim in which the powder contains, in addition to other excipients, a hydrophilic lubricant, preferably sodium stearylfumarate, and a disintegrant, preferably carboxymethylstarch.

4. A formulation obtained as claimed in claims 1 to 3 in which the active principle is micronized diclofenac, with a particle size of less than 10 µm in an amount ranging from 7 to 23% by weight.

5. A formulation as claimed in claim 4 in which the total amount of hydrophilic lubricants is from 2.5 to 5% by weight.

6. A formulation as claimed in claims 4 and 5 in which the total amount of disintegrant is from 13 to 17% by weight.

European Patent Office

EUROPEAN SEARCH REPORT

Application Number
EP 93 50 0129

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.5)
D,Y	EP-A-0 365 480 (CIBA-GEIGY AG) * the whole document *	1-6	A61K9/20 A61K31/195
Y	DE-A-14 92 019 (MINISTERUL INDUSTRIEI PETROLULUI SI CHIMIEI) * the whole document *	1,2,4-6	
Y	EP-A-0 408 273 (E.R. SQUIBB & SONS, INC.NC.) * page 2, line 47 - line 52 * * page 4, line 1 - page 5, line 46 *	3	
A	DATABASE WPI Week 9215, Derwent Publications Ltd., London, GB; AN 92-105000 & ZA-A-9 000 502 (LOUW) 29 January 1992 * abstract *	1-6	
A	FR-A-2 525 474 (ROUSSEL-UCLAF) * page 2, line 9 - page 3, line 40 *	2	TECHNICAL FIELDS SEARCHED (Int.Cl.5)
A	WO-A-92 10169 (AKTIEBOLAGET ASTRA) * page 2, line 15 - page 3, line 28 *	2	A61K
A	EP-A-0 220 805 (EUROCELTIQUE SA) * page 2, line 17 - line 51 * * page 4, line 27 - page 5, line 4 * * page 5, line 48 - page 6, line 7 *	1-6	

The present search report has been drawn up for all claims

Place of search	Date of completion of the search	Examiner
THE HAGUE	19 January 1994	Benz, K

CATEGORY OF CITED DOCUMENTS

X : particularly relevant if taken alone
Y : particularly relevant if combined with another document of the same category
A : technological background
O : non-written disclosure
P : intermediate document

T : theory or principle underlying the invention
E : earlier patent document, but published on, or after the filing date
D : document cited in the application
L : document cited for other reasons

& : member of the same patent family, corresponding document

EPO FORM 1503 03.82 (P0401)

Pharma & Healthcare News
Alsiano

D1

Contents

Publisher: Alsiano A/S
Circulation: 500 copies

Editor-in-chief:
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Coming up: HIE & CPhI 2004

In the coming months, there are plenty of opportunities to be inspired at the two trade fairs for the pharmaceutical and health care industries.

HIE 2004

From 16 – 18 November, the focus will be on health, functional and organic foods when the 3rd Health Ingredients Europe (HIE) trade fair takes place in Amsterdam, the Netherlands. For three days there will be plenty of opportunities to see, taste and smell health ingredients as more than 450 companies from around the world exhibit their products.

In the light of the success of the last exhibition in 2002, HIE 2004 looks promising. In 2002, there were approx. 5,000 visitors and some 6,000 visitors are expected to attend this year.

Food Safety & Hygiene

As a new initiative at HIE, Food Safety & Hygiene (FSH) will join the exhibition this year as a show within the show. At the FSH Arena, you can get updated on the latest developments in food safety management. Exhibitors will show specific products and services that can help improve food safety, microbiological detection, measure toxic residues and offer up-to-date advice on regulatory requirements or in-company training services to promote hygiene procedures.

HI awards

Another new initiative is the launch of the HI awards known from Food Ingredients Europe trade fairs. The criteria for entering the competition was products with a proven health benefit which manufacturers can incorporate into foodstuffs. 26 entries have now been narrowed down to 6 finalists. The final selection will take place on 16 November, where the winners of gold, silver and bronze will be announced during a special awards ceremony.

Alsiano suppliers at HIE

It will also be possible to meet Alsiano's suppliers during HIE. The following Alsiano suppliers will exhibit at the trade fair:

- **La Gardonnenque** – Under the trademark *exGrape*, La Gardonnenque produces a wide range of by-products from grape for the food and pharmaceutical industries – e.g. exGrape Total polyphenols, exGrape Seed and exGrape Acy (anthocyanins). In addition, the French company will take this opportunity to present its olive polyphenols.

- **ORAFTI** – ORAFTI will especially focus on the fibre Raftilose® Synergy1. A large-scale scientific study with human volunteers has shown that Raftilose® Synergy1, in combination with a probiotic culture, provides protection against colon cancer.

HIE 2002

- **Remy Industries** – Remy will introduce the following new products: Remyline XS (new native starch for "clean label" end products), Remypro N80+ (new food grade non-soluble protein), Remypro S10 (new food grade soluble rice protein) and Nutriz (ready-made rice based powder for production of non-dairy drinks and desserts).

- **Roquette** – Launch of a new soluble fibre, NUTRIOSE® FB 06 that offers key nutritional benefits >>

Dear reader,

It gives us great pleasure to present the very first issue of our newsletter for the Nordic pharmaceutical, healthcare and cosmetic industries. Published twice a year, Pharma & Healthcare News is intended as a source of inspiration, containing information from Alsiano and our suppliers about the wide variety of solutions and products we offer.

Contents
Pharma & Healthcare News will mainly be based on news from Alsiano and our suppliers – e.g. events, new product introductions, products with special functionalities and other news. In addition, each issue will contain an article treating a subject of common interest to the industry – in this issue an article about the two coming trade fairs HIE 2004 and CPhI 2004.

Request information
You can request further information – brochures, data sheets, samples, etc. – about products presented in Pharma & Healthcare News by filling in the "Request info" form on **www.alsiano.com.** You find the form under "Publications" in the News pages. When ordering information, please refer to the number of the article, which is found at the bottom of the article in question. You are of course also always welcome to give us a call instead.

We hope that you will give this initiative a good reception.

Anders Hager, Sales Manager

>> such as fibre enrichment for a healthy digestive system, low glycaemic and insulinemic responses, long-lasting energy delivery, low calorie and sugar-free.

- **Seppic** – Health ingredients - minerals with enhanced bioavailability, natural extracts, polyphenols, antioxidants - and excipients, including novel metallic and pearlescent coating agents, for food, nutraceuticals and dietary supplements.

- **WestHove** – Presentation of Farigel wheat LV, which is designed for incorporation of cereals in liquid and semi-liquid applications – whether fruit, vegetable, milk or water based. Farigel wheat LV offers many benefits such as low viscosity development in solution up to 25%, minimal sedimentation with no retrogration and "clean labelling".

CPhI Worldwide 2004

The 15th CPhI Worldwide will take place in Brussels, Belgium, from 7 – 9 December. For many years, this event has been established as the pharmaceutical meeting place, this year with more than 1,200 companies exhibiting. Sectors covered include active pharmaceutical ingredients, chemicals and intermediates, excipients and drug formulation and natural extracts, including ingredients as diverse as tissue media cultures over enzymes to medicinal plants and herbal teas.

Altogether, an estimated 20,000 pharmaceutical decision makers including visitors and exhibitors are expected to attend this trade fair.

ICSE & BioTech Hot Spot
For the 5th time, the International Contract Services Expo (ICSE) is organised alongside CPhI. Known as the forum for one-stop-shopping in pharmaceutical outsourcing, you can meet highly specialised pharmaceutical service suppliers at ICSE.

Apart from special country pavilions, it will also be possible to visit the BioTech Hot Spot, where a number of biotech companies will be introducing their technology.

Alsiano suppliers at CPhI
Also at CPhI, you have the opportunity to meet our suppliers. The following Alsiano suppliers will exhibit:

- **Ajinomoto** – The world's leading company within amino acids and derivatives. Other products are e.g. peptides and tissue culture medias.

- **EPO** – Producer of a wide range of high quality botanical extracts as ingredients for the pharmaceutical, nutraceutical and cosmetic industries. EPO is also a certified producer of organic extracts.

- **BK Giulini Chemie** – World leader in the production of antacid raw materials. The product portfolio comprises Aluminium and Magnesium Hydroxide, Aluminium Hydroxide, Magnesium Carbonate, Magaldrate, Hydrotalcite and Sucralfate.

- **Jost** – High purity chemicals and minerals suited for healthcare and food fortification/supplementation. All products are manufactured according to ACS, USP, EP or FCC where applicable.

- **Roquette** – Offers a wide range of excipients derived from starch. The product portfolio include raw materials for capsules/encapsulation, coating agents, excipients and drug formulation (general category) and tablet binders.

- **Seppic** – Manufactures specialty chemicals. The product range includes excipients like film coating materials in granular form for tablets, novel metallic and pearlescent coatings and non-ionic surfactants complying with EU pharmacopoeia. □

Venue details

HiE
HEALTH INGREDIENTS EUROPE

Amsterdam RAI
Europaplein Entrance nr. 8

Opening hours:

Tuesday, 16 November	10.00-17.30
Wednesday, 17 November	10.00-17.30
Thursday, 18 November	10.00-16.00

CPhI worldwide

Brussels Exhibition Centre
Place de Belgique, Brussels

Opening hours:

Tuesday, 7 December	09.30-17.30
Wednesday, 8 December	09.30-17.30
Thursday, 9 December	09.30-16.00

SEPIFILM™ for perfect tablet coating

Easiness, quality, performance, reproducibility and wide acceptance are the main advantages of the SEPIFILM™ range of tablet coating products together with the service of the SEPPIC customer application laboratory

By Seppic

SEPIFILM™ is tablet coating formulations in GRANULE form, which is an important point compared to all other products on the market in terms of aspect and presentation.

The concept

A granule form is the best way to ensure the perfect quality, reproducibility and stability of a mix of products. Compared to a dusty powder mix, a granule is much easier to handle since it flows better and is dust free. In addition, a granule is much easier to disperse in solvents (e.g. water) than components that are dispersed separately.

Mainly, when comparing powder hydroxypropylmethylcellulose (HPMC) and the same amount included in a SEPIFILM™ granule form, there is no risk of lump formation and the dispersion is achieved faster and easier compared to, in fact, all other systems - SEPIFILM™ provides clearly the easiest handling. For the user, it is also much more convenient to have one single product to use, to store and to analyse than to have all components of a tablet coating formulation separately.

Composition and ranges

Formulation of SEPIFILM™ is typically based on the following ingredients: Film-forming agent, filler, dye and plasticizer. Under the trade mark SEPIFILM™ there is a wide range of products, which can be divided into two main groups:

Customized SEPIFILM™

For companies that have their own formulation, SEPPIC offers to produce their formulation in a "SEPIFILM™ form". This way, you gain all the advantages of the SEPIFILM™ concept, and the formulation remains your proprietary information.

"Standard" SEPIFILM™

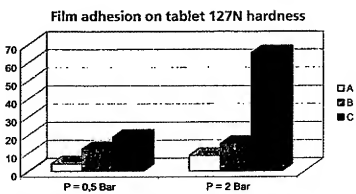
Apart from the customized formulations, SEPPIC has developed a line of standard coating systems, all of them based on film-forming cellulosic derivatives widely accepted in the food and the pharmaceutical industries: **Hypromellose** (or HPMC) or methyl cellulose. These polymers of natural cellulose origin are well known and recognised for their efficiency, acceptability, absence of toxicity, and their market availability.

One important component included in all standard SEPIFILM™ formulation is the **microcrystalline cellulose (MCC)**. This ingredient, well known in solid dosage forms, acts as an active extender in the film. It improves the mechanical resistance of the film and the film adhesion on the tablet surface. Studies made clearly show the improvement. The graph below shows the film adhesion on a placebo tablet of different formulations, each formulation being applied on the tablets at 2 different atomizing pressures.

For a complete formulation, plasticizers are of course also needed in order to improve the properties of the film. A large number of different additives can be used. The most common is polyethylene glycol (PEG). However, PEG is highly hydrophilic and apart from providing flexibility and gloss to the film, it does not really improve the resistance of the film.

For SEPIFILM™, SEPPIC always chooses an additive with a hydrophobic part like **macrogol stearate, acetylated monoglycerides** or even **stearic acid.** All these plasticizers do not increase the hydrophilic character of the HPMC, but they bring enough flexibility to the film and give a lubricated surface so that the film becomes less tacky and that the tablets flow better in the packing lines. >>

Film adhesion on tablet 127N hardness



Formulation	HPMC	PEG 400	Lactose	MCC
A	9	1		

Hexal A/S: "Close dialogue and technical competence are crucial factors when choosing a supplier"

In 1998, GEA Farmaceutiske Fabrik A/S became a part of the German Hexal, and in May 2004, the company name was changed to Hexal A/S. However, the name is not the only thing that has changed. Joining with Hexal has also implied that the Danish unit has gone through a violent process of changes: The production has been moved to Germany, and Hexal A/S now focuses on the development of new generic drugs. In this article, Jon Bjergfelt, the purchasing manager of Hexal A/S, reflects on their expectations to raw material suppliers in relation to this recent development.

As purchasing manager at Hexal A/S since 2001, an important part of the tasks of Jon Bjergfelt has been to integrate the suppliers across the frontiers and at the same time maintaining the local contact. Most of the world is represented in the supplier portfolio of Hexal A/S, and it has therefore been a difficult operation to secure as smooth a transition as possible. Now, the job is done, and Hexal A/S finds itself in a favourable position for the future.

However, Jon Bjergfelt is surprised to see that only few suppliers are capable of keeping the contact when the dialogue is concentrated on the R&D department. "Often, our suppliers are focused on the present business. This means that the suppliers' approach is solely commercially oriented", says Jon Bjergfelt, pointing out that the business of the future lies in the R&D departments. "Once a drug has been launched, the composition is only rarely changed – a change is simply too expensive", Jon Bjergfelt states.

At Hexal A/S, efficiency is in focus. The speed of development is decisive for success. A minor delay in development projects can result in a loss of important markets. "As producer of generic drugs, being first on the market is crucial for Hexal A/S," Jon Bjergfelt points out. Hexal A/S is well aware that a close co-operation with the suppliers can contribute to the development of Hexal A/S, but only if they have the necessary technical expertise. "To be a valuable partner to the R&D department, technical knowledge about raw materials is required – and not just about the single raw material, but also about how it interacts with other raw materials", continues Jon Bjergfelt , who has a technical background himself. An additional requirement for a constructive co-operation and a close dialogue with the suppliers is physical presence. Finding the optimum solution often requires many meetings with the suppliers, and therefore long geographical distances may constitute an obstacle. "We try to meet the suppliers at trade fairs, etc., but that is far from being enough. We need suppliers to come to our facilities and often at short notice when required", Jon Bjergfelt explains.

Hexal A/S is constantly on the lookout for new opportunities, and Jon Bjergfelt must find partners who appreciate the specific pharmaceutical development process at Hexal A/S. "All things considered, elements like technical competence and the ability to be present when required are the main factors in the supplier selection process", Jon Bjergfelt concludes. □

>> The stearic acid is used to provide a strong hydrophobicity to the film and allows reduction of its moisture permeability. It is used in the **SEPIFILM™ LP**, a range of SEPIFILM™ providing easy access to moisture resistant film where all the components - HPMC, MCC and stearic acid - are well known and food and pharma approved.

Coloured SEPIFILM

Except for **SEPIFILM™ LP**, **SEPIFILM™** can also be coloured. Selection of colour can be made easily from the **SEPIFILM™ COLOR GUIDE**. For specific requests, colour matching can be carried out in SEPPIC's application laboratories. In addition, SEPPIC offers a range of **SEPISPERSE™ DRY**, which is concentrated pigment dispersion in HPMC in granule form. A standard range of almost 100 different colours is available. SEPISPERSE™ DRY is compatible with all SEPIFILM™ film-forming compositions and all HPMC based formulations, and provides an easy way to formulate coloured films. SEPISPERSE™ DRY can also be used to colour all HPMC films.

Article 301

D2

Pformulate

EXCIPIENTS

Home
Pformulate What?
Excipients
Excipients Express!!!
Services
Suppliers
Resources
Advertising
Classifieds
Email

CELLULOSE-BASED COATINGS

1] Description: Cellulose-based coating materials are available with a variety of functional properties.

Polymer	Trade Name
Cellulose Acetate Phthalate (CAP)	Aquacoat CPD® Aqueous Dispersion (30% solids)
	C-A-P NF Eastman
Hydroxypropylmethylcellulose (HPMC)	Sepifilm™ LP
Hydroxypropylcellulose HPC)	Klucel®
Hydroxypropylethylcellulose (HPEC)	
Ethylcellulose	Aquacoat® ECD, Aqueous Dispersion, (30% solids)
	Aqualon®
	Surelease®, Aqueous Dispersion, (25% solids)
Methylcellulose	Metolose® SM-4, extremely low viscosity methylcellulose for film coating
Microcrystalline Cellulose and Carrageenan	LustreClear™, All-in-one coating system

2] Applications:

Enteric Coatings	Aquacoat® CPD Cellulose Acetate phthalate aqueous dispersion. C-A-P NF Eastman is used in solvent based coatings
Polymer Extenders	Klucel® EF and LF enhance the utility of HPMC. Eliminates bridging, improve adherence to problem tablet substrates, reduce the incidence on film cracking on the tablet edge.
Immediate Release Coatings	moisture barrier/sealant: use Aquacoat® ECD, Opadry® AMB, Sepifilm™ LP, Surelease® taste masking: use Aquacoat® ECD, LustreClear™, Metolose® SM-4, Surelease® LustreClear™ is used as an aqueous clear film coating. It allows for short hydration time prior to coating and fast drying. Its smooth satin-like finish eliminates edge wear and logo bridging. Sepifilm™ LP is a ready to use, gastrosoluble composition for the film-coating of moisture sensitive solid particles. Plasticized with stearic acid. Shows significantly lower moisture permeability compared to PVA and other HPMC based coating formulations.
Sustained Release Coatings	Ethylcellulose-based coatings: Aquacoat® ECD Aqualon® Surelease®, a complete, optimally plasticized system for modified release.
Subcoat	Klucel® EF is a highly flexible film former, and is an excellent subcoat for tablets that are difficult to coat.
Pellet Coating	Metolose® SM-4, low viscosity (4mPas), less tacky, and therefore better than HPMC for fine pellet coating

3) *Suppliers:*
Need a supplier? Submit in Excipients Express!!!

4) References:

FMC's Excipients for Pharmaceutical Tablets, Capsules and Suspensions

Hercules Technical Bulletin VC-556C, The Use of Klucel® Pharm Hydroxypropylcellulose to Increase the Utility of Hydroxypropyl Methylcellulose in Aqueous Film Coating.

Hercules Technical Bulletin VC-598A, Klucel® EF Pharm

Hydroxypropylcellulose Use in Plasticizer-Free Aqueous Film Coating.

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Pformulate 9/26/2000, 4/16/2002, 07/17/2005